CLAIMS

1. A quick release pharmaceutical composition for oral administration comprising a therapeutically and/or prophylactically active substance which has a solubility of at the most about 0.1 % w/v in 0.1 N hydrochloric acid at room temperature,

the composition being based on a powder comprising the therapeutically and/or prophylactically active substance and having such a particle size that - when the powder is subjected to a sieve analysis - then at least about 90% w/w such as, e.g. at least about 92% w/w, at least about 94% w/w, at least about 95% w/w, at least about 96% w/w, at least about 97% w/w, at least about 97% w/w, at least about 98% w/w or at least about 99% w/w of the particles passes through sieve 180 μm, the powder being contacted with an aqueous medium to form a particulate composition, which has such a particle size that - when the particulate composition is subjected to a sieve analysis - then at least about 50% w/w such as, e.g., at least about 55% w/w. at least about 60% w/w, at least about 65% w/w, at least about 70% w/w, at least about 75% w/w, at least about 80% w/w, at least about 85% w/w, at least about 90% w/w or at least about 95% w/w of the particles passes through sieve 180 μm, and

the composition - when tested in accordance with the dissolution method I defined herein employing 0.07 N hydrochloric acid as dissolution medium - releases at least about 50% w/w of the active substance within the first 20 min of the test.

2. A quick release pharmaceutical composition for oral administration comprising a therapeutically and/or prophylactically active substance which has a solubility of at th most 0.1 % w/v in 0.1 N hydrochloric acid at room temperature,

the composition being in the form of a particulate composition or being based on a particulate composition which is obtained by contacting a powder comprising the therapeutically and/or prophylactically active substance with an aqueous medium in such a manner that the mean particle size of the particles of the particulate composition is at the most about 100% larger than the mean particle size of the powder before contact with the aqueous medium, and

the composition - when tested in accordance with the dissolution method I defined herein employing 0.07 N hydrochloric acid as dissolution medium - releases at least about 50% w/w of the active substance within the first 20 min of the test.

3. A quick release pharmaceutical composition for oral administration comprising a therapeutically and/or prophylactically active substance which has a pK_a value of at the most about 5.5, such as, e.g., at the most about 5.3, at the most about 5.2, at the most about 5.0 such as ,e.g., in a range of from about 3.4 to about 5.0 or in a range of from about 4.0 to about 5.0,

the composition being based on a powder comprising the therapeutically and/or prophylactically active substance and having such a particle size that - when the powder is subjected to a sieve analysis - then at least about 90% w/w such as, e.g. at least about 92% w/w, at least about 94% w/w, at least about 95% w/w, at least about 96% w/w, at least about 97% w/w, at least about 97% w/w, at least about 98% w/w or at least about 99% w/w of the particles passes through sieve 180 μm, the powder being contacted with an aqueous medium to form a particulate composition, which has such a particle size that - when the particulate composition is subjected to a sieve analysis - then at least about 50% w/w such as, e.g., at least about 55% w/w. at least about 60% w/w, at least about 65% w/w, at least about 70% w/w, at least about 75% w/w, at least about 80% w/w, at least about 85% w/w, at least about 90% w/w or at least about 95% w/w of the particles passes through sieve 180 μm, and

the composition - when tested in accordance with the dissolution method I defined herein - releases at least about 50% w/w of the active substance within the first 20 min of the test.

4. A quick release pharmaceutical composition for oral administration comprising a therapeutically and/or prophylactically active substance which has a pK_a value of at the most about 5.5, such as, e.g., at the most about 5.3, at the most about 5.2, at the most about 5.0 such as ,e.g., in a range of from about 3.4 to about 5.0 or in a range of from about 4.0 to about 5.0,

the composition being in the form of a particulate composition or being based on a particulate composition which is obtained by contacting a powder comprising the

therapeutically and/or prophylactically active substance with an aqueous medium in such a manner that the mean particle size of the particles of the particulate composition is at the most about 100% larger than the mean particle size of the powder before contact with the aqueous medium, and

the composition - when tested in accordance with the dissolution method I defined herein - releases at least about 50% w/w of the active substance within the first 20 min of the test.

5. A composition according to any one of the preceding claims, wherein the composition – when subjected to dissolution method I as defined herein employing 0.07 N hydrochloric acid as dissolution medium – releases at least 55% w/w such as, e.g., at least about 60% w/w, at least about 65% w/w, at least about 70% w/w, at least about 75% w/w, at least about 80% w/w, at least about 85% w/w, at least about 90% w/w, at least about 95% w/w, at least about 96% w/w, at least about 97% w/w, at least about 98% w/w or at least about 99% w/w of total amount of active substance present in the composition within the first 20 min of the test.

6. A composition according to any one of the preceding claims wherein the solubility of the therapeutically and/or prophylactically active substance in 0.1 N hydrochloric acid at room temperature is at the most about 0.05% w/v such as at the most about 0.01% w/v, at the most about 0.009% w/v, at the most about 0.008% w/v, at the most about 0.007% w/v, at the most about 0.006% w/v, at the most about 0.005% w/v, at the most about 0.004% w/v, at the most about 0.003% w/v, at the most about 0.002 % w/v or at the most about 0.001% w/v.

7. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance – when tested by solubility method I described herein – has such a dissolution rate that it allows an amount of at the most 50% w/w of the active substance to be dissolved within the first 20 min of the test.

8. A composition according to any one of the preceding claims, wherein the composition is in the form of a solid composition.

9. A composition according to any one of the preceding claims, wherein the composition is in the form of a particulate composition.

10. A composition according to any one of the preceding claims in the form of a unit dosage form.

11. A composition according to any one of the preceding claims, wherein the aqueous medium comprises water and an organic solvent.

12. A composition according to any one of the preceding claims, wherein the mean particle size of the particles of the particulate composition is at the most about 250 μm, such as, e.g. at the most about 240 μm, at the most about 230 μm, at the most about 220 μm, at the most about 210 μm, at the most about 200 μm, at the most about 190 μm, at the most about 180 μm, at the most about 175 μm, at the most about 150 μm, at the most about 125 μm, at the most about 100 μm, at the most about 90 μm, at the most about 80 μm or at least at the most about 75 μm, whenever appropriate, after contact with an aqueous medium.

13. A composition according to any one of the preceding claims further comprising at least one pharmaceutically acceptable excipient.

14. A composition according to claim 13, wherein the at least one pharmaceutically acceptable excipient is selected from the group consisting of binders, disintegrants, fillers and diluents.

15. A composition according to claim 14, wherein the composition comprises a filler having binding properties.

16. A composition according to claim 15, wherein the filler having binding properties is, e.g., lactose (such as, e.g., Tabletose®, Pharmatose®), sugar derivatives (such as, e.g., mannitol, sorbitol), calcium carbonate ($CaCO_3$), tricalcium phosphate ($Ca_5(PO_4)_3OH$), calcium hydrogen phosphate ($CaHPO_4$) (such as, e.g., Di-Cafos®, Di-Tab®, Emcompress® or Pharmacompress®), or the like and/or mixtures thereof.

17. A composition according to claim 16, wherein the filler having binding properties is calcium hydrogen phosphate.

18. A composition according to any one of claims 15-17, wherein the filler having binding properties as raw material has a mean particle size of at the most about 140 μm, such as, e.g., at the most about 130 μm, at the most about 120 μm, at the most about 110 μm, at the most about 100 μm, at the most about 90 μm, at the most about 80 μm, at the most about 70 μm, at the most about 60 μm, at the most about 50 μm, at the most about 40 μm, at the most about 35 μm, at the most about 30 μm or at the most about 25 μm such as, e.g., in a range of from about 10 μm to about 80 μm or in a range of from about 15 μm to about 55 μm..

19. A composition according to any one of the preceding claims further comprising an alkaline substance such as, e.g., an antacid or an antacid-like substance.

20. A composition according to claim 19, wherein the alkaline substance is an antacid or an antacid-like substance such as, e.g., sodium hydrogen carbonate, magnesium carbonate, magnesium hydroxide or magnesium metasilicate aluminate or mixtures thereof.

21. A composition according to claim 19 or 20, wherein the mean particle size of the antacid-like substance as raw material is at the most about 250 μm, such as at the most about 225 μm, at the most about 200 μm, at the most about 175 μm, at the most about 150 μm, at the most about 145 μm, at the most about 140 μm, at the most about 135 μm, at the most about 130 μm such as, e.g., in a range of from about 20 μm to about 250 μm, in a range of from about 40 μm to about 200 μm, in a range of from about 60 μm to about 175 μm, in a range from about 80 μm to about 150 μm or in a range of from about 100 μm to about 120 μm.

22. A composition according to any one of the preceding claims, wherein a particulate composition further has been processed to obtain a composition in the form of tablets, capsules or sachets.

23. A composition according to any one of the preceding claims in the form of tablets.

24. A composition according to claim 23 obtainable by compressing a powder comprising the therapeutically and/or prophylactically active substance and at least one pharmaceutically acceptable excipient into tablets.

25. A composition according to any of claims 22-24, wherein the composition has such a mechanical strength as to enable handling and coating in a conventional coating apparatus.

26. A composition according to claim 25, wherein the composition – when subjected to a crushing strength test in accordance with Ph. Eur. - has a crushing strength of at least about 50 N such as, e.g., at least about 60 N, at least about 70 N, at least about 80 N such as, e.g., in a range from about 50 N to about 150 N, in a range of from about 60 N to about 130 N, in a range from about 70 N to about 120 N or in a range of from about 75 N to about 110 N such as from about 80 to about 100 N.

27. A composition according to any one of claims 22-26 comprising a first pharmaceutically acceptable excipient which imparts a suitable robustness to the composition to enable handling and, if desired, coating in a coating apparatus.

28. A composition according to claim 27, wherein the first pharmaceutically acceptable excipient is a filler having binding properties.

29. A composition according to any one of claims 26-28, wherein the composition - when tested as a composition without the first pharmaceutically acceptable excipient in the crushing strength apparatus according to Ph. Eur. - has a crushing strength of less than about 45 N such as, e.g., less than about 30 N, less than about 25 N, less than about 20 N, less than about 15 N or less than about 10 N.

30. A composition according to claim 28, wherein the filler having binding properties is, e.g., lactose (such as, e.g., Tabletose®, Pharmatose®), sugar derivatives (such as, e.g., mannitol, sorbitol), calcium carbonate ($CaCO_3$), tricalcium phosphate ($Ca_5(PO_4)_3OH$), calcium hydrogen phosphate ($CaHPO_4$) (such as, e.g., Di-Cafos®, Di-Tab®, Emcompress® or Pharmacompress®), or the like and/or mixtures thereof.

31. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance is a non-steroid anti-inflammatory drug substance (NSAID substance).

32. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance is selected from the group consisting of lornoxicam, diclofenac, nimesulide, ibuprofen, piroxicam, piroxicam (betacyclodextrin), naproxen, ketoprofen, tenoxicam, aceclofenac, indometacin, nabumetone, acemetacin, morniflumate, meloxicam, flurbiprofen, tiaprofenic acid, proglumetacin, mefenamic acid, fenbufen, etodolac, tolfenamic acid, sulindac, phenylbutazone, fenoprofen, tolmetin, acetylsalicylic acid, dexibuprofen, paracetamol, and pharmaceutically acceptable salts, complexes and/or prodrugs thereof and mixtures thereof.

33. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance is lornoxicam or a pharmaceutically acceptable salt, complex or prodrug thereof.

34. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance is present in the composition in an amount which is sufficient to give an enhanced onset of the effect.

35. A composition according to any one of the preceding claims comprising a further active drug substance.

36. A composition according to claim 35, wherein the further active drug substance is an antidepressant, an opioid, a prostaglandine analogue (e.g. misoprostol), a glucocorticosteroid, a cytostaticum (e.g. methotrexate), a H_2 receptor antagonist (e.g. cimetidine, ranitidine), a proton pump inhibitor (e.g. pantoprazole, omeprazole, lansoprazole) and/or an antacidum.

37. A composition according to claim 35, wherein the further active drug substance is paracetamol, penicillamine, sulfasalazine and/or auranorfin.

38. A composition according to any one of the preceding claims in unit dosage form, wherein the unit dosage of the composition comprises from about 1 to about 32 mg of the therapeutically and/or prophylactically active substance.

39. A composition according to any one of claims 1-37 in unit dosage form, wherein the unit dosage comprises from about 1 mg to about 1.6 g such as from about 1 mg to about 1.2 g of the therapeutically and/or prophylactically active substance.

40. A composition according to any one of claims 1-37 in unit dosage form, wherein the unit dosage comprises from about 50 mg to about 1.1 g of the therapeutically and/or prophylactically active substance.

41. A composition according to any one of claims 1-37 in unit dosage form, wherein a unit dosage comprises from about 100 mg to about 1.0 g of the therapeutically and/or prophylactically active substance.

42. A composition according to any one of claims 1-37 in unit dosage form, wherein a unit dosage comprises from about 200 mg to about 900 mg of the therapeutically and/or prophylactically active substance.

43. A composition according to any one of claims 1-37 in unit dosage form, wherein a unit dosage comprises from about 300 mg to about 800 mg of the therapeutically and/or prophylactically active substance.

44. A composition according to any one of the preceding claims, wherein the therapeutically and/or prophylactically active substance is lornoxicam and a unit dosage of the composition contains 4, 8, 12, 16, 20, 24, 28, 32 or 36 mg of lornoxicam.

45. A composition according to any one of the preceding claims, wherein the water content in the composition is at the most about 5% w/w such as, e.g., at the most about 4% w/w, at the most about 3%, at the most about 2% w/w, at the most about 1.5% w/w, at the most about 1.3% w/w, at the most about 1.1% w/w or at the most about 0.9% w/w determined by the LOD (loss on drying) method described herein.

46. A composition according to any one of the preceding claims comprising sodium hydrogen carbonate.

47. A composition according to any one of the preceding claims comprising calcium hydrogen phosphate.

48. A composition according to any one of the preceding claims, wherein the composition is coated with a coat which does not substantially retard the release of the therapeutically and/or prophylactically active substance from the composition.

49. A composition according to any one of the preceding claims, wherein the composition is coated with a film coating.

50. A method for the preparation of a composition according to any one of the preceding claims, the method comprising the steps of

i) mixing the therapeutically and/or prophylactically active substance with a) an alkaline substance, b) a filler having binding properties, and, optionally, c) other pharmaceutically acceptable excipients to obtain a powder mixture,

ii) contacting the thus obtained powder mixture with an aqueous medium to obtain a wet powder,

iii) drying the thus obtained wet powder at a temperature above room temperature until the water content in the powder is at the most about 5% w/w determined as described herein, to obtain a first particulate mixture,

iv) sieving the thus obtained first particulate mixture,

v) optionally, adding any further pharmaceutically acceptable excipients to obtain a second particulate mixture,

vi) optionally, compressing the thus obtained second particulate mixture into tablets, and

vii) optionally, coating the thus obtained tablets.

51. A method according to claim 50, wherein step ii) is performed in a suitable apparatus which enables an energy input which is sufficient to bringing the particles in contact with the aqueous medium without substantially deteriorate the stability of the final composition.

52. A method according to claim 50, wherein step ii) is performed in a suitable apparatus which enables an energy input which is sufficient to bringing the therapeutically and/or prophylactically active substance and the alkaline substance in contact with the aqueous medium without negatively influencing the release rate of the active substance from the final composition.

53. A method according to claim 51or 52, wherein the energy input is provided discontinuous.

54. A method according to any one of claims 50-53, wherein step ii) is performed in intervals of wet-massing and wet-resting.

55. A method according to any one of claims 50-54, wherein the alkaline substance employed in step i) is an antacid-like substance such as, e.g., sodium hydrogen carbonate, magnesium carbonate, magnesium hydroxide or magnesium metasilicate aluminate or mixtures thereof.

56. A method according to any one of claims 50-55, wherein the filler having binding properties is, e.g., lactose (such as, e.g., Tabletose®, Pharmatose®), sugar derivatives (such as, e.g., mannitol, sorbitol), calcium carbonate ($CaCO_3$), tricalcium phosphate ($Ca_5(PO_4)_3OH$), calcium hydrogen phosphate ($CaHPO_4$) (such as, e.g., Di-Cafos®, Di-Tab®, Emcompress® or Pharmacompress®), or the like and/or mixtures thereof.

57. A method according to any one of claims 50-56, wherein the aqueous medium employed in step ii) is a solvent comprising water and an organic solvent.

58. A method according to claim 57, wherein the organic solvent is a solvent which is miscible with water such as, e.g., a branched or unbranched lower (C_1-C_5) aliphatic alcohol like, e.g., ethanol, methanol, isopropanol, 1-propanol, 1-butanol, 2-butanol, iso-

butanol, tert. butanol and 1-pentanol, 2-pentanol, 3-pentanol, iso-pentanol and tert. pentanol and mixtures thereof.

59. A method according to claim 58, wherein the concentration of the organic solvent in the solvent is from about 0% v/v to about 95% v/v such as, e.g., from about 10% v/v to about 90% v/v, from about 10% v/v to about 80% v/v, from about 15% v/v to about 70% v/v, from about 15% v/v to about 60% v/v, from about 20% v/v to about 50% v/v, from about 20% v/v to about 40% v/v, from about 25% v/v to about 35% v/v such as, e.g. about 33.3% v/v.

60. A method according to any one of claims 50-59, wherein step ii) is performed in a conventional high shear mixer employing an energy input which is sufficient to enabl a contact to take place between the therapeutically and/or prophylactically active substance and the alkaline substance employed in step i) but at the same time is sufficiently low to avoid formation of a large amount of agglomerates during the mixing.

61. A method according to any one of claims 50-60, wherein the mean particle size of the particles of the first particulate mixture is at the most about 100% larger than the mean particle size of the powder mixture from step i) before subjecting the powder mixture to the reaction in the aqueous medium employed in step ii).

62. A method according to claim 61, wherein the mean particle size of the particle of the first particulate mixture is at the most 90% such as, e.g., about 80%, about 75%, about 70%, about 65%, about 60%, about 55% or about 50% larger than the mean particle size of the powder mixture from step i) before subjecting the powder mixture to the reaction in an aqueous medium employed in step ii).

63. A method according to any one of claims 50-62, wherein the powder obtained in step i) has such a particle size that - when the powder is subjected to a sieve analysis - then at least about 90% w/w such as, e.g. at least about 92% w/w, at least about 94% w/w, at least about 95% w/w, at least about 96% w/w, at least about 97% w/w, at least about 97% w/w, at least about 98% w/w or at least about 99% w/w of the particles passes through sieve 180 µm, and the first particulate mixture obtained in step iii) has such a particle size that - when th particulate composition is subjected to a sieve analysis - then at least about 50% w/w such as, e.g., at least about 55% w/w. at least about 60% w/w, at least

about 65% w/w, at least about 70% w/w, at least about 75% w/w, at least about 80% w/w, at least about 85% w/w, at least about 90% w/w or at least about 95% w/w of the particles passes through sieve 180 μm.

64. A method according to any one of claims 50-63, wherein the mean particle size of the particles of the first particulate mixture is at the most about 250 μm, such as, e.g. at the most about 240 μm, at the most about 230 μm, at the most about 220 μm, at the most about 210 μm, at the most about 200 μm, at the most about 190 μm, at the most about 180 μm, at the most about 175 μm, at the most about 150 μm, at the most about 125 μm, at the most about 100 μm, at the most about 90 μm, at the most about 80 μm or at the most about 75 μm.

65. A method for treatment and/or prophylaxis of acute pain and/or mild or moderate pain comprising administering to a patient an effective amount of a therapeutically and/or prophylactically active substance in the form a quick release composition according to any one of claims 1-49.

66. A method for fast relief of acute pain comprising administering to a patient in need thereof an effective amount of a therapeutically and/or prophylactically active substance in the form a quick release composition according to any one of claims 1-49.